

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
7 July 2005 (07.07.2005)

PCT

(10) International Publication Number
WO 2005/060977 A1

(51) International Patent Classification⁷: **A61K 31/702**,
C07H 3/00

(21) International Application Number:
PCT/GB2004/005398

(22) International Filing Date:
22 December 2004 (22.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0329667.0 22 December 2003 (22.12.2003) GB

(71) Applicant (for all designated States except US): **BTG INTERNATIONAL LIMITED** [GB/GB]; 10 Fleet Place, Limeburner Lane, London EC4M 7SB (GB).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **CHIBBER, Rakesh** [GB/GB]; 36A Park Drive, Charlton, London SE7 8DY (GB).

(74) Agent: **DOLAN, Anthony, Patrick**; BTG International Limited, 10 Fleet Place, Limeburner Lane, London EC4M 7SB (GB).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: CORE 2 GLCNAC-T INHIBITORS

(57) Abstract: The present invention relates to the use of known and novel compounds as inhibitors of UDP-GlcNAc:Gal β 1,3GalNAc-R (GlcNAc to GalNAc) β 1,6-N-acetylglucosaminyl transferase (core 2 β 1,6 N-acetylaminotransferase, core 2 GlcNAc-T -EC 2.4.1.102). Such inhibitors have applications in therapy for diseases associated with raised activity of core 2 GlcNAc-T, in particular inflammatory diseases, atherosclerosis, diabetic cardiomyopathy, cancers - including treatment or prevention of metastasis - or diabetic retinopathy.



WO 2005/060977 A1